

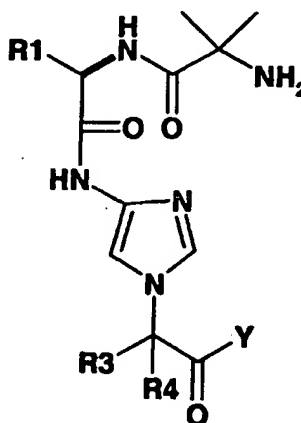


INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 : C07K 5/062, A61K 38/05, A61P 19/00	A1	(11) International Publication Number: WO 00/49037 (43) International Publication Date: 24 August 2000 (24.08.00)
(21) International Application Number: PCT/US00/04274 (22) International Filing Date: 18 February 2000 (18.02.00) (30) Priority Data: 60/120,813 19 February 1999 (19.02.99) US (71) Applicant (for all designated States except US): ELI LILLY AND COMPANY [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): DODGE, Jeffrey, Alan [US/US]; 11134 Indian Lake Boulevard, Indianapolis, IN 46236 (US). LUGAR, Charles, Willis, III [US/US]; 13100 Highland Springs Court, McCordsville, IN 46055 (US). (74) Agents: MCNEIL, Scott, A. et al.; Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN 46285 (US).	(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>	

(54) Title: GROWTH HORMONE SECRETAGOGUES**(57) Abstract**

What is disclosed are growth hormone secretagogues, and their uses, of formula (I) wherein R1 is C₆H₅CH₂OCH₂-, C₆H₅(CH₂)₃- or indol-3-ylmethyl; Y is pyrrolidin-1-yl, 4-C₁-C₆ alkylpiperidin-1-yl or NR₂R₂; R₂ are each independently a C₁ to C₆ alkyl; R₃ is 2-naphthyl or phenyl para-substituted by W; W is H, F, CF₃, C₁-C₆ alkoxy or phenyl; and R₄ is H or CH₃, or a pharmaceutically acceptable salt or solvate thereof.



(I)

INTERNATIONAL SEARCH REPORT

Int. National Application No.

PCT/US 00/04274

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07K5/062 A61K38/05 A61P19/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07K A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 99 08697 A (LILLY CO ELI ;KAUFFMAN RAYMOND FRANCIS (US); PALKOWITZ ALAN DAVID) 25 February 1999 (1999-02-25) claims	1-9
P, X	WO 99 08699 A (BRYANT HENRY UHLMAN ;COPP JAMES DENSMORE (US); FAHEY KENNAN JOSEPH) 25 February 1999 (1999-02-25) claims	1-9
A	EP 0 662 481 A (MERCK & CO INC) 12 July 1995 (1995-07-12)	
A	EP 0 615 977 A (MERCK & CO INC) 21 September 1994 (1994-09-21)	
	-/-	

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"A" document member of the same patent family

Date of the actual completion of the international search

21 July 2000

Date of mailing of the international search report

27/07/2000

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Cervigni, S

INTERNATIONAL SEARCH REPORT

Int. Patent Application No.

PCT/US 00/04274

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 98 16527 A (TANIGUCHI KIYOSHI ;KURODA SATORU (JP); SHIMIZU YASUYO (JP); FUJISA) 23 April 1998 (1998-04-23)	
A	US 5 721 250 A (MORRIELLO GREGORI J ET AL) 24 February 1998 (1998-02-24)	

INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. l. Application No

PCT/US 00/04274

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9908697 A	25-02-1999	AU 9471598 A BR 9803168 A EP 0898963 A	08-03-1999 11-01-2000 03-03-1999
WO 9908699 A	25-02-1999	AU 9025698 A EP 0933365 A NO 20000823 A	08-03-1999 04-08-1999 12-04-2000
EP 0662481 A	12-07-1995	US 5578593 A AT 178070 T AU 673017 B AU 5232193 A CA 2110672 A CN 1092767 A, B DE 69324148 D DE 69324148 T ES 2129072 T HR 931484 A IL 107836 A JP 2509147 B JP 7097367 A MX 9307851 A SI 9300647 A AU 673552 B AU 5232093 A BG 61979 B BG 99710 A BR 1100850 A CA 2110670 A CN 1092071 A, B CZ 9501514 A CZ 9501515 A EP 0615977 A FI 952862 A FI 952863 A HU 9500324 A HU 73228 A HU 72076 A JP 2509530 B JP 6263737 A KR 203962 B MX 9307850 A NO 952294 A NO 952295 A NZ 258412 A NZ 258429 A PL 309331 A PL 309332 A SI 9300646 A SK 75995 A WO 9413696 A WO 9419367 A US 5536716 A US 5652235 A ZA 9309272 A ZA 9309274 A	26-11-1996 15-04-1999 24-10-1996 23-06-1994 12-06-1994 28-09-1994 29-04-1999 28-10-1999 01-06-1999 30-04-1996 04-01-1998 19-06-1996 11-04-1995 30-06-1994 30-09-1994 14-11-1996 23-06-1994 30-11-1998 31-01-1996 07-12-1999 12-06-1994 14-09-1994 13-12-1995 13-12-1995 21-09-1994 09-06-1995 09-06-1995 28-09-1995 29-07-1996 28-03-1996 19-06-1996 20-09-1994 15-06-1999 30-06-1994 10-08-1995 10-08-1995 29-01-1997 26-05-1997 02-10-1995 02-10-1995 30-09-1994 08-11-1995 23-06-1994 01-09-1994 16-07-1996 29-07-1997 08-08-1994 08-08-1994
EP 0615977 A	21-09-1994	US 5536716 A	16-07-1996

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/04274

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0615977 A		AU 673552 B	14-11-1996
		AU 5232093 A	23-06-1994
		BG 61979 B	30-11-1998
		BG 99710 A	31-01-1996
		CA 2110670 A	12-06-1994
		CN 1092071 A,B	14-09-1994
		CZ 9501514 A	13-12-1995
		FI 952863 A	09-06-1995
		HU 9500324 A	28-09-1995
		HU 72076 A	28-03-1996
		JP 2509530 B	19-06-1996
		JP 6263737 A	20-09-1994
		KR 203962 B	15-06-1999
		MX 9307850 A	30-06-1994
		NO 952295 A	10-08-1995
		NZ 258412 A	29-01-1997
		PL 309331 A	02-10-1995
		SI 9300646 A	30-09-1994
		SK 75995 A	08-11-1995
		WO 9413696 A	23-06-1994
		US 5652235 A	29-07-1997
		AT 178070 T	15-04-1999
		AU 673017 B	24-10-1996
		AU 5232193 A	23-06-1994
		BR 1100850 A	07-12-1999
		CA 2110672 A	12-06-1994
		CN 1092767 A,B	28-09-1994
		CZ 9501515 A	13-12-1995
		DE 69324148 D	29-04-1999
		DE 69324148 T	28-10-1999
		EP 0662481 A	12-07-1995
		ES 2129072 T	01-06-1999
		FI 952862 A	09-06-1995
		HR 931484 A	30-04-1996
		HU 73228 A	29-07-1996
		IL 107836 A	04-01-1998
		JP 2509147 B	19-06-1996
		JP 7097367 A	11-04-1995
		MX 9307851 A	30-06-1994
		NO 952294 A	10-08-1995
		NZ 258429 A	26-05-1997
		PL 309332 A	02-10-1995
		SI 9300647 A	30-09-1994
		WO 9419367 A	01-09-1994
		US 5578593 A	26-11-1996
		ZA 9309272 A	08-08-1994
		ZA 9309274 A	08-08-1994
WO 9816527 A	23-04-1998	AU 6708298 A	11-05-1998
		WO 9851687 A	19-11-1998
US 5721250 A	24-02-1998	US 5492916 A	20-02-1996
		AU 1172995 A	29-05-1995
		BG 100555 A	31-10-1996
		BR 9408019 A	26-08-1997
		CA 2175218 A	18-05-1995
		CN 1174504 A	25-02-1998
		CZ 9601342 A	11-12-1996

INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. onal Application No

PCT/US 00/04274

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5721250 A		EP 0739204 A	30-10-1996
		FI 961951 A	08-05-1996
		HU 74733 A	28-02-1997
		JP 10506091 T	16-06-1998
		LV 11525 A	20-10-1996
		LV 11525 B	20-02-1997
		NO 961865 A	08-07-1996
		PL 322706 A	16-02-1998
		SK 56296 A	05-02-1997
		WO 9513069 A	18-05-1995
		US 5622973 A	22-04-1997